10002326

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Jun 03	New e-mail delivery for search results now available
NEWS 4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 7	Sep 03	JAPIO has been reloaded and enhanced
NEWS 8	Sep 16	Experimental properties added to the REGISTRY file
NEWS 9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11	Oct 24	BEILSTEIN adds new search fields
NEWS 12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13	Nov 18	DKILIT has been renamed APOLLIT
NEWS 14	Nov 25	More calculated properties added to REGISTRY
NEWS 15	Dec 04	CSA files on STN
NEWS 16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17	Dec 17	TOXCENTER enhanced with additional content
NEWS 18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS 20	Feb 13	CANCERLIT is no longer being updated
NEWS 21	Feb 24	METADEX enhancements
NEWS 22	Feb 24	PCTGEN now available on STN
NEWS 23	Feb 24	TEMA now available on STN
NEWS 24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 25	Feb 26	PCTFULL now contains images
NEWS 26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27	Mar 19	APOLLIT offering free connect time in April 2003
NEWS 28	Mar 20	EVENTLINE will be removed from STN
NEWS 29	Mar 24	PATDPAFULL now available on STN
NEWS 30	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 31	Mar 24	Indexing from 1957 to 1966 added to records in CA/CAPLUS
NEWS 32	Apr 11	Display formats in DGENE enhanced

NEWS EXPRESS	April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:12:24 ON 12 APR 2003

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:12:41 ON 12 APR 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 APR 2003 HIGHEST RN 502793-56-8
DICTIONARY FILE UPDATES: 11 APR 2003 HIGHEST RN 502793-56-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

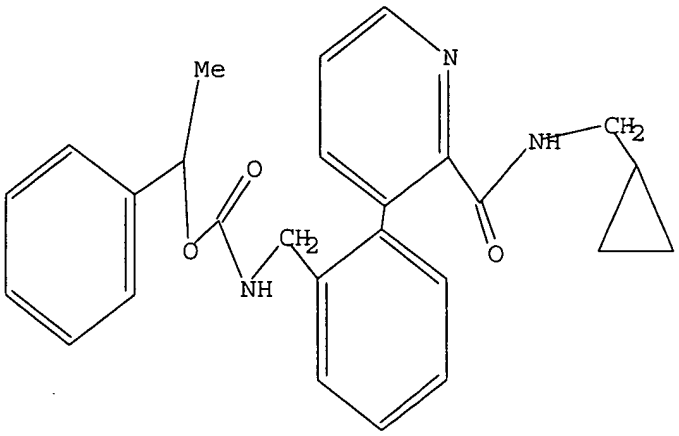
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10002320.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 14:13:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      5 TO ITERATE

100.0% PROCESSED       5 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 14:13:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     95 TO ITERATE

100.0% PROCESSED      95 ITERATIONS                                2 ANSWERS
SEARCH TIME: 00.00.01

L3            2 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.15     148.36

FILE 'CAPLUS' ENTERED AT 14:13:20 ON 12 APR 2003
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COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 12 Apr 2003 VOL 138 ISS 16
FILE LAST UPDATED: 11 Apr 2003 (20030411/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 2 L3

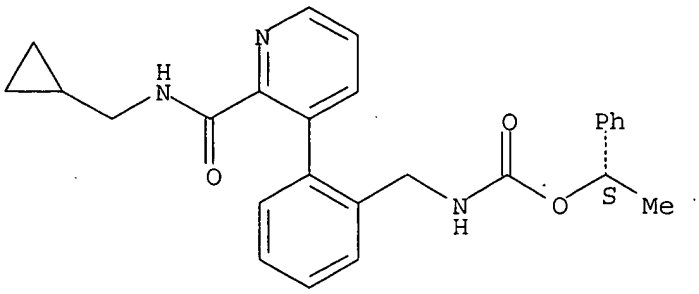
=> d l4 fbib hitstr abs total

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
AN 2003:49604 CAPLUS
TI Identification, Synthesis, and Activity of Novel Blockers of the Voltage-Gated Potassium Channel Kv1.5
AU Peukert, Stefan; Brendel, Joachim; Pirard, Bernard; Brueggemann, Andrea; Below, Peter; Kleemann, Heinz-Werner; Hemmerle, Horst; Schmidt, Wolfgang
CS Medicinal Chemistry and DG Cardiovascular, Aventis Pharma Deutschland GmbH, Frankfurt/Main, D-65926, Germany
SO Journal of Medicinal Chemistry (2003), 46(4), 486-498
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
IT **502169-87-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of o-[o-(aminomethyl)phenyl]arenecarboxamides as blockers of the voltage-gated potassium channel Kv1.5 and antiarrhythmic agents)
RN 502169-87-1 CAPLUS
CN Carbamic acid, [[2-[2-[[(cyclopropylmethyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

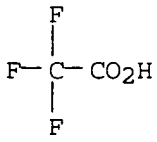
CRN 434319-88-7
CMF C26 H27 N3 O3

Absolute stereochemistry.

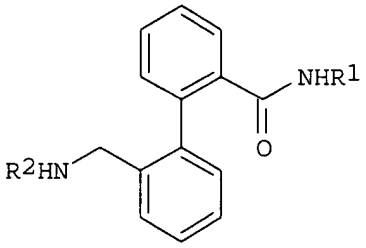


CM 2

CRN 76-05-1
CMF C2 H F3 O2



GI



I

AB The voltage-gated potassium channel Kv1.5 is regarded as a promising target for the development of new atrial selective drugs with fewer side effects. In the present study, several ortho,ortho-disubstituted bisaryl compds., e.g. I [R1 = Me2CHCH2CH2, 2,4-F2C6H3CH2, 2-(2-pyridyl)ethyl, etc.; R2 = PhCH2OCO, 4-MeOC6H4CH2CO, PhCH2CH2, etc.] were synthesized and screened for their ability to block Kv1.5 channels expressed in Xenopus oocytes. The obsd. structure-activity relationship was described by a pharmacophore model that consists of three hydrophobic centers in a triangular arrangement. The hydrophobic centers are matched by a Ph or pyridyl ring of the bisaryl core and both ends of the side chains. The most potent compds. I [R1 = 2-(2-pyridyl)ethyl; R2 = PhCH2OCO, (S)-PhCHMeOCO] inhibited the Kv1.5 channel with sub-micromolar half-blocking concns. and displayed 3-fold selectivity over Kv1.3 and no significant effect on the HERG channel and sodium currents. In addn., compds. I [R1 = 2-(2-pyridyl)ethyl, R2 = PhCH2OCO; R1 = 2,4-F2C6H3CH2, R2 = 4-MeOC6H4CH2CO] have shown antiarrhythmic effects in a pig model.
RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD

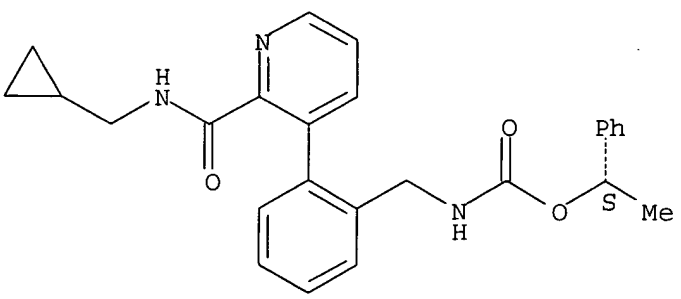
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
AN 2002:449654 CAPLUS
DN 137:20388
TI Preparation of ortho-substituted nitrogen containing bisaryl compounds as potassium channel blockers
IN Peukert, Stefan; Brendel, Joachim; Hemmerle, Horst; Kleemann, Heinz-Werner
PA Aventis Pharma Deutschland G.m.b.H., Germany
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

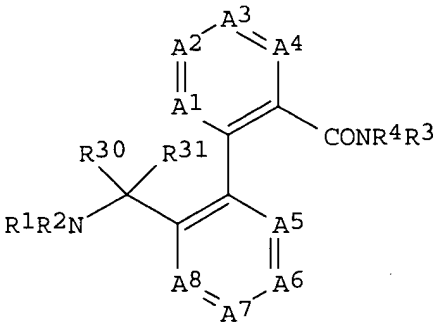
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002046162	A1	20020613	WO 2001-EP13680	20011124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			DE 2000-10060807A	20001207
DE 10060807	A1	20020620	DE 2000-10060807	20001207
AU 2002021892	A5	20020618	AU 2002-21892	20011124
			DE 2000-10060807A	20001207
			WO 2001-EP13680W	20011124
US 2003060470	A1	20030327	US 2001-2326	20011205
			DE 2000-10060807A	20001207

OS MARPAT 137:20388
IT **434319-88-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ortho-substituted nitrogen contg. bisaryl compds. as potassium channel blockers)
RN 434319-88-7 CAPLUS
CN Carbamic acid, [[2-[2-[[(cyclopropylmethyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



I

AB Title compds. [I; A1-A8 = N, CH, CR5; whereby >1 of A1-A8 = N and >4 of A1-A8 = CH; R1 = CO2R9, SO2R10, COR11, C(O)NR12R13, C(S)NR12R13; R9-R12 = CxH2xR14; x = 0-4; R14 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR15, SO2Me, (substituted) Ph, naphthyl, etc.; R15 = alkyl, cycloalkyl, (substituted) Ph; R13 = H, alkyl, CF3; R2 = H, alkyl, CF3; R3 = CyH2yR16, etc.; y = 0-4; R16 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR17, SO2Me, (substituted) Ph, naphthyl, etc.; R17 = H, alkyl, cycloalkyl, (substituted) Ph, pyridyl; R4 = H, alkyl, CF3; or R3R4 = (O-, S-, NH-, N(methyl)-, N(benzyl)-interrupted) C4-5 alkylene; R5 = F, Cl, Br, I, CF3, NO2, cyano, CO2Me, COMe, amino, OH, alkyl, alkoxy, etc.; R30, R31 = H, alkyl; or R30R31 = C2 alkylene], were prepd. The most prepd. compds. were phenylpyridines and phenylpyrazines. Thus, a mixt. of 1-[3-(2-aminomethylphenyl)pyridin-2-yl]-N-(cyclopropylmethyl)amide, diisopropylethylamine, (R)-3-phenylbutyric acid, and tetramethylfluoroamidinium hexafluorophosphate (TFFH) was stirred overnight to give 77% 1-(3-[2-(R)-[(3-phenylbutyrylamino)methyl]phenyl]pyridin-2-yl)-N-(cyclopropylamino)amide. The latter inhibited Kv1.5 human channel with IC50 = 0.4 .mu.M.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT